

Aminomethylphosphonic and aminomethylphosphinic acids derivatives and their use in the treatment of degenerative articular diseases

Patent Number: EP0703239

Publication date: 1996-03-27

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Requested Patent: ☐ EP0703239

Application Number: EP19950114360 19950913

Priority Number (s): DE19944433244 19940919

IPC Classification: C07F9/40; A61K31/66; C07F9/38; C07F9/48; C07F9/32; C07F9/655; C07F9/6533; C07F9/59; C07F9/58; C07F9/6512

EC Classification: C07F9/32A7, C07F9/38A7, C07F9/40A7, C07F9/48, C07F9/58R, C07F9/59E, C07F9/59R, C07F9/6512R, C07F9/6533, C07F9/655M60

Equivalents: AU3068695, CA2158517, ☐ DE4433244, ☐ JP8104694, ZA9507674

Cited patent(s): EP0559079; WO9419358; DE2751943

Abstract

Medicaments contg. alpha-amino-3,5-di-t-butyl-4-hydroxybenzyl- phosphorus cpds. of formula (I) or their salts or stereoisomers are new: R₁, R₂ = H, 1-12C alkyl*, 1-12C alkyl (substd. by one or more of (a) phenyl*; (b) phenyl substd. by 1-3 halo, 1-3C alkyl, 1-3C alkoxy, methylenedioxy, NO₂, NH₂, amidino, guanidino, OH, 2-7C alkoxy carbonyl and/or CN; (c) 5- or 6-membered monocyclic satd. heterocyclyl; (d) amino opt. mono- or disubstd. by 1-3C alkyl; and (e) 2-4C alkoxy carbonyl; tetrahydronaphthyl; phenyl*; phenyl substd. by 1-3 f 1-4C alkyl, 1-4C haloalkyl, halo*, NO₂, SO₂NH₂, amidino, guanidino, 1-3C alkoxy, methylenedioxy, OH, COOH, CN, 2-4C alkoxy carbonyl, CH=CHCOOR (R = H or 1-3C alkyl), NH₂ and/or NHQ (Q = a gp. of formula (I) minus NR₁R₂); naphthyl*; naphthyl substd. by one or more of the above phenyl substds.; heteroaryl opt. substd. by one or more of phenyl, benzyl and the above phenyl substds.; or NR₁R₂ = a 4-7-membered ring* or a 5-7-membered ring in which a C atom is replaced by O, N, NPh or NQ; X, Y = H, 1-6C alkyl, 1-6C alkoxy, 3-6C alkenyloxy, OPh or OH. Also claimed are : (A) cpds. (I) where the asterisked definitions are omitted; (B) cpds. (I) where R₁ = phenyl or mono-, di- or trihalophenyl, R₂ = H and X and Y are as above but not alkoxy.

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(19)



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(11)

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(12)

EUROPÄISCHE PATENTANMELDUNG

(43) Veröffentlichungstag:
27.03.1996 Patentblatt 1996/13

(21) Anmeldenummer: 95114360.1

(22) Anmeldetag: 13.09.1995

(51) Int. Cl.⁶: **C07F 9/40**, A61K 31/66,
C07F 9/38, C07F 9/48,
C07F 9/32, C07F 9/655,
C07F 9/6533, C07F 9/59,
C07F 9/58, C07F 9/6512

(84) Benannte Vertragsstaaten:
AT BE CH DE DK ES FR GB GR IE IT LI LU NL PT
SE

(30) Priorität: 19.09.1994 DE 4433244

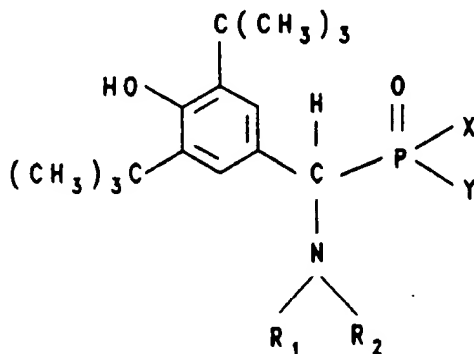
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(54) **Aminomethylphosphon- und Aminomethylphosphinsäure-Derivate und deren Verwendung zur Behandlung von degenerativen Gelenkserkrankungen**

(57) Verbindungen der Formel I



(I)

eignen sich zur Herstellung von Arzneimitteln zur Behandlung und Prophylaxe von degenerativen Gelenkserkrankungen, aber auch von Erkrankungen des rheumatischen Formenkreises, bei denen Knorpelabbau zu verzeichnen ist, wie bei der chronischen Polyarthritis, dem Gelenktrauma sowie bei Knorpelschwund nach längerer Immobilisation des Gelenks.

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